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Sustained-release compsn. for hormone drugs, pref. LHRH antagonists, - contains biodegradable carboxyl-contg. polymer e.g. lactic acid glycolic acid copolymer, providing constant release of drug without initial burst

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Patent Number	Kind	Application Date	Number	Kind	Date	Update
EP 601799	A1	19940615	EP 1993309681	A	19931203	199423 B
WO 1994013317	A1	19940623	WO 1993JP1773	A	19931207	199426 E
AU 199352113	A	19940616	AU 199352113	A	19931201	199429 E
NO 199304423	A	19940608	NO 19934423	A	19931206	199429 E
FI 199305471	A	19940608	FI 19935471	A	19931207	199431 E
CA 2110730	A	19940608	CA 2110730	A	19931206	199434 E
NZ 250349	A	19941222	NZ 250349	A	19931203	199505 E
JP 7097334	A	19950411	JP 1993306659	A	19931207	199523 E
ZA 199309163	A	19950830	ZA 19939163	A	19931207	199540 E
CN 1096221	A	19941214	CN 1993121140	A	19931207	199549 E
US 5480868	A	19960102	US 1993162698	A	19931207	199607 E
AU 669939	B	19960627	AU 199352113	A	19931201	199636 E
US 5668111	A	19970916	US 1993162698	A	19931207	199743 E
			US 1995471382	A	19950606	
SG 46283	A1	19980220	SG 19962095	A	19931203	199821 E
TW 333456	A	19980611	TW 1993109889	A	19931124	199844 E
US 5972891	A	19991026	US 1993162698	A	19931207	199952 E
			US 1995471382	A	19950606	
			US 1997892315	A	19970714	
RU 2128055	C1	19990327	RU 1995114533	A	19931207	200024 E
			WO 1993JP1773	A	19931207	
MX 195675	B	20000327	MX 19937686	A	19931206	200123 E
NO 200101930	A	19940608	NO 19934423	A	19931206	200138 NCE
			NO 20011930	A	20010419	
EP 601799	B1	20010808	EP 1993309681	A	19931203	200146 E
			EP 2000203850	A	19931203	
NO 310704	B1	20010820	NO 19934423	A	19931206	200154 E
KR 2001016379	A	20010305	KR 200051490	A	20000901	200157 E
DE 69330547	E	20010913	DE 69330547	A	19931203	200161 E
			EP 1993309681	A	19931203	
ES 2158856	T3	20010916	EP 1993309681	A	19931203	200164 E
KR 305951	B	20011130	KR 199326743	A	19931207	200246 E
KR 319425	B	20020109	KR 199326743	A	19931207	200253 E

KR 200051490 A 20000901
 US 20020147150 A1 20021010 US 1993162698 A 19931207 200269 E
 US 1995471382 A 19950606
 US 1997892315 A 19970714
 US 1999386949 A 19990831
 US 2000640983 A 20000818
 US 200125967 A 20011226
 US 20020173467 A1 20021121 US 1993162698 A 19931207 200279 E
 US 1995471382 A 19950606
 US 1997892315 A 19970714
 US 1999386232 A 19990831
 US 2002127558 A 20020423
 US 20030039698 A1 20030227 US 1997892315 A 19970714 200318 E
 US 1999386232 A 19990831
 US 6528093 B1 20030304 US 1993162698 A 19931207 200320 E
 US 1995471382 A 19950606
 US 1997892315 A 19970714
 US 1999386232 A 19990831
 FI 200300166 A 20030204 FI 19935471 A 19931207 200337 E
 FI 2003166 A 20030204
 CN 1428144 A 20030709 CN 1993121140 A 19931207 200363 E
 CN 2002108206 A 19931207
 PH 1199347385 B1 20020416 PH 199347385 A 19931203 200382 E
 CN 1099893 C 20030129 CN 1993121140 A 19931207 200532 E
 EP 1088555 B1 20050518 EP 1993309681 A 19931203 200538 E
 EP 2000203850 A 19931203
 FI 116196 B1 20051014 FI 19935471 A 19931207 200570 E
 FI 2003166 A 20030204
 JP 3725906 B2 20051214 JP 1993306659 A 19931207 200582 E
 US 7048947 B2 20060523 US 1993162698 A 19931207 200635 E
 US 1995471382 A 19950606
 US 1997892315 A 19970714
 US 1999386232 A 19990831
 US 2002127558 A 20020423
 NO 323428 B1 20070430 NO 19934423 A 19931206 200731 NCE
 NO 20011930 A 20010419
 CN 1911214 A 20070214 CN 200610099723 A 19931207 200740 E
 PH 1200101399 B1 20060608 PH 199347385 A 19931203 200827 E
 PH 20011399 A 20010605
 CA 2110730 C 20080916 CA 2110730 A 19931206 200864 E

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 199318978 A 19930205; JP 1993145134 A 19930616; JP 1993145134 A
 19930618; NO 19934423 A 19931206; NO 20011930 A 20010419

Alerting Abstract EP A1

A sustained-release cpd. comprising a peptide of formula (I) and a biodegradable polymer with a terminal COOH gp. In the formulae, X = acyl; R1, R2, R4 = aromatic cyclic; R3 = D-amino acid residue or gp. of formula(i); R3' = heterocyclic; R5 = (CH₂)_n-R5', n = 2 or 3 R5' = amino (opt. substd.) aromatic cyclic or O-glycosyl; R6 = (CH₂)_n-R6', R6' = amino (opt. substd.); R7 = D-amino acid residue or azaglycyl residue; Q = H or lower alkyl (or their salts) and a biodegradable polymer with a terminal carboxyl gp.

Pref. X = 2-7C alkanoyl (opt. substd. by 5-6 membered heterocyclic carboximido), pref. 2-4C alkanoyl (opt. substd. by tetrahydrofuryl carboxamide) or more pref. acetyl; and the biodegradable polymer is a mixt. of a copolymer of glycolic acid and a cpd. HO - CHR - COOH (R = 2-8C alkyl) and a polylactic acid, or is a copolymer of lactic acid and glycolic acid.

USE/ADVANTAGE - (I) is a LHRH antagonist. It is useful to treat hormone-dependent diseases e.g. prostate cancer, benign prostatomegaly, endometriosis, hysteromyoma, metrofiroma, precocious puberty, mammary cancer etc., or as contraceptives. The competitive inhibition of LHRH is persistent and the sustained-release prepn. shows a constant release of the peptide over a long time (1 - 3 months), without an initial burst. (I) has low toxicity and can be used in a wide range of mammals.